

TI Preparation of 2-pyridone derivatives as neutrophil elastase inhibitors and their use for treating inflammation
 IN Andersson, Marjana; Hansen, Peter; Loenn, Hans; Nikitidis, Antonios;
 Sjoelin, Petter
 PA AstraZeneca AB, Swed.
 SO PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005026123	A1	20050324	WO 2004-SE1335	20040915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272484	A1	20050324	AU 2004-272484	20040915
AU 2004272484	B2	20080313		
CA 2538405	A1	20050324	CA 2004-2538405	20040915
EP 1663973	A1	20060607	EP 2004-775438	20040915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014548	A	20061107	BR 2004-14548	20040915
CN 1882542	A	20061220	CN 2004-80033847	20040915
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NZ 545963	A	20090925	NZ 2004-545963	20040915
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IN 2006DN02107	A	20070713	IN 2006-DN2107	20060418
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US 20070203129	A1	20070830	US 2007-572706	20070108
IN 2009DN02359	A	20090522	IN 2009-DN2359	20090409
IN 2009DN02360	A	20090522	IN 2009-DN2360	20090409
PRAI SE 2003-2486	A	20030918		
WO 2004-SE1335	W	20040915		
IN 2006-DN2107	A3	20060418		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 142:316705; MARPAT 142:316705

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Y = CH, CF, N; R1 = H, alkyl; R2 = (un)substituted Ph, 5- or 6-membered heteroaryl containing 1 to 4 heteroatoms; G1 = Ph, 5- or 6-membered heteroaryl containing 1 to 3 heteroatoms; each R5 = independently H, halo, CN, alkoxy, NO2, etc.; n = 1-3; R4 = H, (un)substituted alkyl; L = a

bond, O, SO, SO₂, S, NH, etc.; G2 = (un)substituted monocyclyl, bicycyl; and their optical isomers, racemates, tautomers, and pharmaceutically acceptable salts) were prepared as human neutrophil elastase (HNE) inhibitors for treating inflammation. Thus, acylation of 4-methylsulfonylbenzylamine•HCl with 6-methyl-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihdropyridine-3-carboxylic acid (preparation given), iodination, and Pd-cross coupling of the iodide with phenylboronic acid gave pyridone II. Selected I gave IC₅₀ values for inhibition of HNE activity of less than 30 μM.

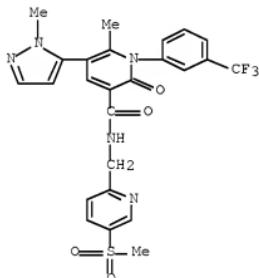
IT 848141-11-7P, 6-Methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[(5-(methylsulfonyl)pyridin-2-yl)methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihdropyridine-3-carboxamide 848141-15-1P,
5-(3,5-Dimethylisoxazol-4-yl)-6-methyl-N-[(5-(methylsulfonyl)pyridin-2-yl)methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihdropyridine-3-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-pyridones as human neutrophil elastase inhibitors and their use for treating inflammation)

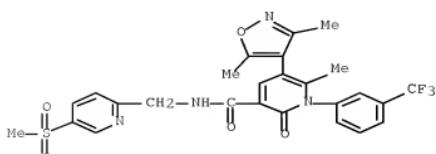
RN 848141-11-7 CAPLUS

CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[(5-(methylsulfonyl)-2-pyridinyl)methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 848141-15-1 CAPLUS

CN 3-Pyridinecarboxamide, 5-(3,5-dimethyl-4-isoxazolyl)-1,2-dihydro-6-methyl-N-[(5-(methylsulfonyl)-2-pyridinyl)methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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